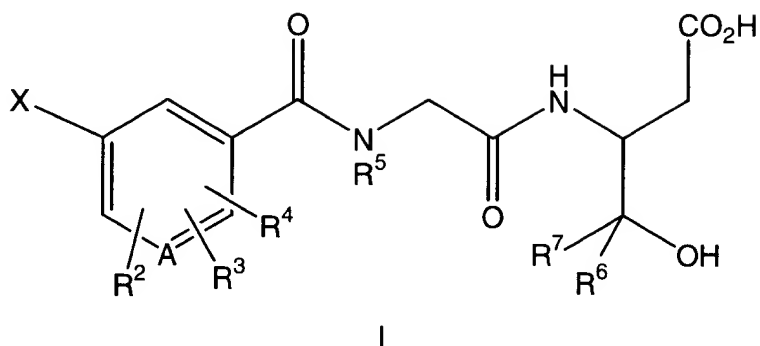


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What is claimed is:

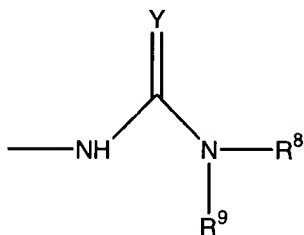
1. A compound of Formula I

10



15 or a pharmaceutically acceptable salts thereof wherein:

X is



20

Y is selected from the group consisting of N-R¹, O, and S;

A is N or C;

25 R¹ is selected from the group consisting of H, alkyl, aryl, hydroxy, alkoxy, cyano, nitro, amino, alkenyl, alkynyl, amido, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl, alkyl optionally

- 5 substituted with one or more substituent selected from lower alkyl, halogen, hydroxyl, haloalkyl, cyano, nitro, carboxyl, amino, alkoxy, aryl or aryl optionally substituted with one or more halogen, haloalkyl, lower alkyl, alkoxy, cyano, alkylsulfonyl, alkylthio, nitro, carboxyl, amino, hydroxyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles, or
- 10 fused monocyclic heterocycles, aryl optionally substituted with one or more substituent selected from halogen, haloalkyl, hydroxy, lower alkyl, alkoxy, methylenedioxy, ethylenedioxy, cyano, nitro, alkylthio, alkylsulfonyl, sulfonic acid, sulfonamide, carboxyl derivatives, amino, aryl, fused aryl, monocyclic heterocycles and fused monocyclic heterocycle, monocyclic
- 15 heterocycles, and monocyclic heterocycles optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, amino, nitro, hydroxy, carboxyl derivatives, cyano, alkylthio, alkylsulfonyl, sulfonic acid, sulfonamide, aryl or fused aryl; or

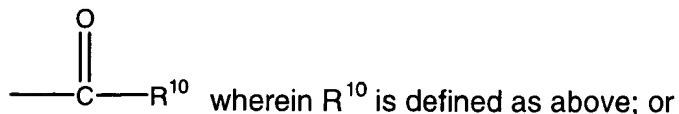
- 20 R^1 taken together with R^8 forms a 4-12 membered dinitrogen containing heterocycle optionally substituted with one or more substituent selected from the group consisting of lower alkyl, hydroxy, keto, alkoxy, halo, phenyl, amino, carboxyl or carboxyl ester, and fused phenyl; or

- 25 R^1 taken together with R^8 forms a 5 membered heteroaromatic ring optionally substituted with one or more substituent selected from lower alkyl, phenyl and hydroxy; or

- 30 R^1 taken together with R^8 forms a 5 membered heteroaromatic ring fused with a phenyl group;

- R^8 (when not taken together with R^1) and R^9 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aralkyl, amino, alkylamino, hydroxy, alkoxy, arylamino, amido, alkylcarbonyl, arylcarbonyl,
- 35 alkoxycarbonyl, aryloxy, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxy-carbonyl, alkylthiocarbonyl, arylthiocarbonyl, acyloxymethoxycarbonyl,

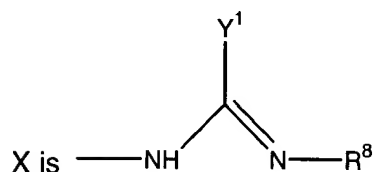
- 5 cycloalkyl, bicycloalkyl, aryl, acyl, benzoyl, alkyl optionally substituted with one or more substituent selected from lower alkyl, halogen, hydroxy, haloalkyl, cyano, nitro, carboxyl derivatives, amino, alkoxy, thio, alkylthio, sulfonyl, aryl, aralkyl, aryl optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy,
- 10 methylenedioxy, ethylenedioxy, alkylthio, haloalkylthio, thio, hydroxy, cyano, nitro, carboxyl derivatives, aryloxy, amido, acylamino, amino, alkylamino, dialkylamino, trifluoroalkoxy, trifluoromethyl, sulfonyl, alkylsulfonyl, haloalkylsulfonyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles, fused monocyclic heterocycles, aryl optionally substituted
- 15 with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, methylenedioxy, ethylenedioxy, alkylthio, haloalkylthio, thio, hydroxy, cyano, nitro, carboxyl derivatives, aryloxy, amido, acylamino, amino, alkylamino, dialkylamino, trifluoroalkoxy, trifluoromethylsulfonyl, alkylsulfonyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic
- 20 heterocycles, or fused monocyclic heterocycles, monocyclic heterocycles, monocyclic heterocycles optionally substituted with one or more substituent selected from halogen, haloalkyl, lower alkyl, alkoxy, aryloxy, amino, nitro, hydroxy, carboxyl derivatives, cyano, alkylthio, alkylsulfonyl, aryl, fused aryl, monocyclic and bicyclic heterocyclicalkyls, $-SO_2R^{10}$ wherein
- 25 R^{10} is selected from the group consisting of alkyl, aryl and monocyclic heterocycles, all optionally substituted with one or more substituent selected from the group consisting of halogen, haloalkyl, alkyl, alkoxy, cyano, nitro, amino, acylamino, trifluoroalkyl, amido, alkylaminosulfonyl, alkylsulfonyl, alkylsulfonylamino, alkylamino, dialkylamino, trifluoro-
- 30 methylthio, trifluoroalkoxy, trifluoromethylsulfonyl, aryl, aryloxy, thio, alkylthio, and monocyclic heterocycles; and



- 5 NR⁸ and R⁹ taken together form a 4-12 membered mononitrogen containing monocyclic or bicyclic ring optionally substituted with one or more substituent selected from lower alkyl, carboxyl derivatives, aryl or hydroxy and wherein said ring optionally contains a heteroatom selected from the group consisting of O, N and S;

10

or



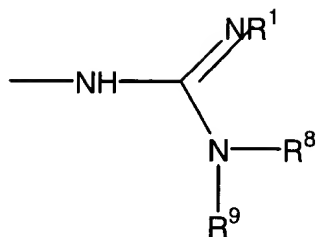
- 15 wherein Y' is selected from the group consisting of alkyl, cycloalkyl, bicycloalkyl, aryl, monocyclic heterocycles, alkyl optionally substituted with aryl which can also be optionally substituted with one or more substituent selected from halogen, haloalkyl, alkyl, nitro, hydroxy, alkoxy, aryloxy, aryl, or fused aryl, aryl optionally substituted with one or more substituent
- 20 selected from halogen, haloalkyl, hydroxy, alkoxy, aryloxy, aryl, fused aryl, nitro, methylenedioxy, ethylenedioxy, or alkyl, alkynyl, alkenyl, -S-R¹¹ and -OR¹¹ wherein R¹¹ is selected from the group consisting of H, alkyl, aralkyl, aryl, alkenyl, and alkynyl, or R¹¹ taken together with R⁸ forms a 4-12 membered mononitrogen and monosulfur or monooxygen containing
- 25 heterocyclic ring optionally substituted with lower alkyl, hydroxy, keto, phenyl, carboxyl or carboxyl ester, and fused phenyl, or R¹¹ taken together with R⁸ is thiazole, oxazole, benzoxazole, or benzothiazole;

R⁸ is defined as above; or

30

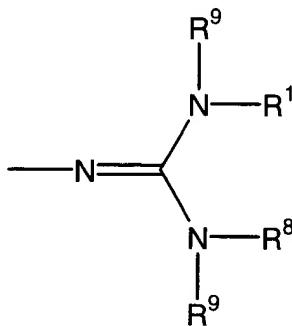
Y¹ (when Y¹ is carbon) taken together with R⁸ forms a 4-12 membered mononitrogen or dinitrogen containing ring optionally substituted with alkyl, aryl, keto or hydroxy; or

5



X is

- 10 wherein R¹ and R⁸ taken together form a 5-8 membered dinitrogen containing heterocycle optionally substituted with one or more substituent selected from the group consisting of lower alkyl, hydroxy, keto, phenyl, or carboxyl derivatives; and R⁹ is selected from the group consisting of alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, haloalkyl-
- 15 carbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl, or acyloxymethoxycarbonyl; or



X is

- 20 wherein R¹ and R⁸ taken together form a 5-8 membered dinitrogen containing heterocycle optionally substituted with hydroxy, keto, phenyl, or alkyl; and

- R⁹ are both selected from the group consisting of alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, haloalkylcarbonyl, haloalkoxycarbonyl, alkylthiocarbonyl, arylthiocarbonyl and acyloxymethoxycarbonyl;
- 25

5

R^2 , R^3 and R^4 are independently selected from one or more substituent selected from the group consisting of H, alkyl, hydroxy, alkoxy, aryloxy, halogen, haloalkyl, haloalkoxy, nitro, amino, alkylamino, acylamino, dialkylamino, cyano, alkylthio, alkylsulfonyl, carboxyl derivatives,

10 trihaloacetamide, acetamide, aryl, fused aryl, cycloalkyl, thio, monocyclic heterocycles, fused monocyclic heterocycles, and X, wherein X is defined above;

R^5 , R^6 and R^7 are independently selected from the group consisting of

15 hydrogen, alkyl, alkenyl, alkynyl, aryl, carboxyl derivatives, haloalkyl, cycloalkyl, monocyclic heterocycles, monocyclic heterocycles optionally substituted with alkyl, halogen, haloalkyl, cyano, hydroxy, aryl, fused aryl, nitro, alkoxy, aryloxy, alkylsulfonyl, arylsulfonyl, sulfonamide, thio, alkylthio, carboxyl derivatives, amino, amido, alkyl optionally substituted with one or

20 more of halogen, haloalkyl, hydroxy, alkoxy, aryloxy, thio, alkylthio, alkynyl, alkenyl, alkyl, arylthio, alkylsulfoxide, alkylsulfonyl, arylsulfoxide, arylsulfonyl, cyano, nitro, amino, alkylamino, dialkylamino, alkylsulfonamide, arylsulfonamide, acylamide, carboxyl derivatives, sulfonamide, sulfonic acid, phosphonic acid derivatives, phosphinic acid derivatives, aryl, arylthio,

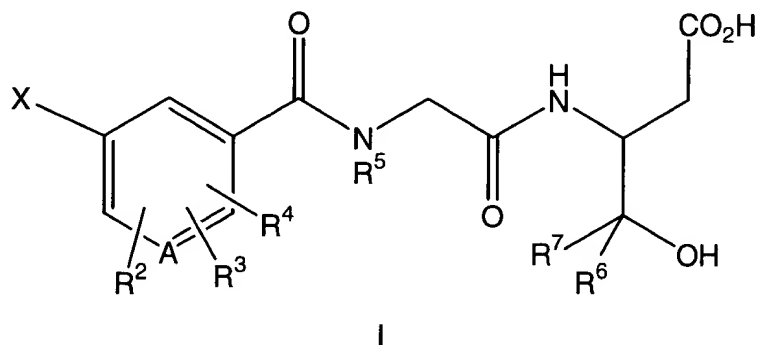
25 arylsulfoxide, or arylsulfone all optionally substituted on the aryl ring with halogen, alkyl, haloalkyl, cyano, nitro, hydroxy, carboxyl derivatives, alkoxy, aryloxy, amino, alkylamino, dialkylamino, amido, aryl, fused aryl, monocyclic heterocycles, and fused monocyclic heterocycles, monocyclic heterocyclicthio, monocyclic heterocyclicsulfoxide, and monocyclic

30 heterocyclic sulfone, which can be optionally substituted with halogen, haloalkyl, nitro, hydroxy, alkoxy, fused aryl, or alkyl, alkylcarbonyl, haloalkylcarbonyl, and arylcarbonyl, aryl optionally substituted in one or more positions with halogen, haloalkyl, alkyl, alkoxy, aryloxy, methylenedioxy, ethylenedioxy, alkylthio, haloalkylthio, thio, hydroxy, cyano,

35 nitro, acyloxy, carboxyl derivatives, carboxyalkoxy, amido, acylamino, amino, alkylamino, dialkylamino, trifluoroalkoxy, trifluoromethylsulfonyl,

- 5 alkylsulfonyl, sulfonic acid, sulfonamide, aryl, fused aryl, monocyclic heterocycles and fused monocyclic heterocycles;
and all isomers, enantiomers, tautomers, racemates and polymorphs thereof.

- 10 2. A compound according to claim 1 ✓

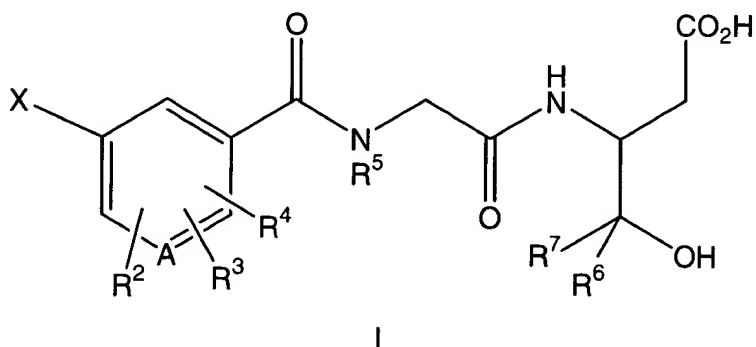


- 15 wherein:

R^5 and $R^6 = H$;

- $R^7 = H$; alkyl, haloalkyl, carboxyalkyl, alkenyl, alkynyl, and phenyl, optionally
20 substituted with one or more halogen atom.

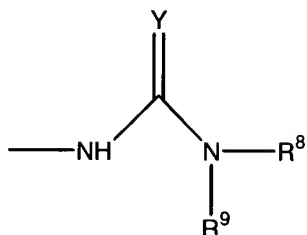
3. A compound according to claim 1 ✓



5 wherein:

R^2 , R^3 , and R^4 are H, OH, or haloalkyl;

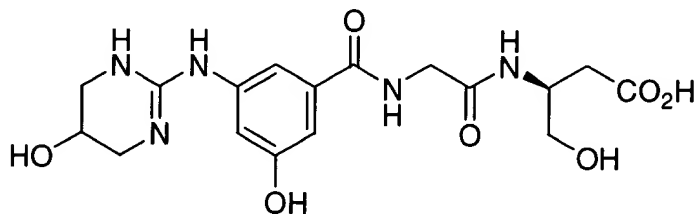
X is



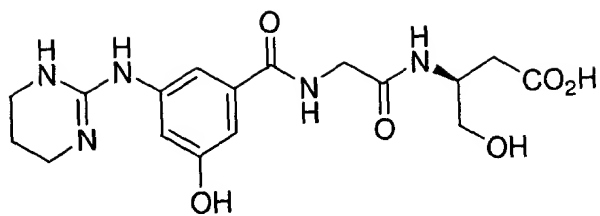
Y is N- R^1 wherein R^1 is selected from the group consisting of H, alkyl, aryl, hydroxy, alkoxy, cyano, and nitro; or

R^1 taken together with R^8 forms a 4-12 membered dinitrogen containing heterocycle optionally substituted with one or more substituent selected from the group consisting of lower alkyl, hydroxy, keto, alkoxy, halogen, phenyl, amino, carboxyl or carboxyl ester, and fused phenyl.

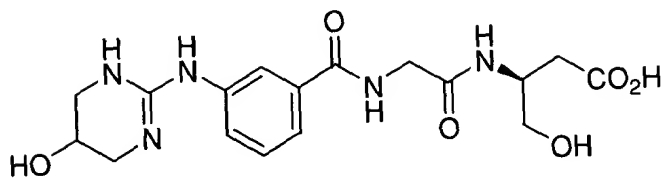
4. A compound selected from the group consisting of:



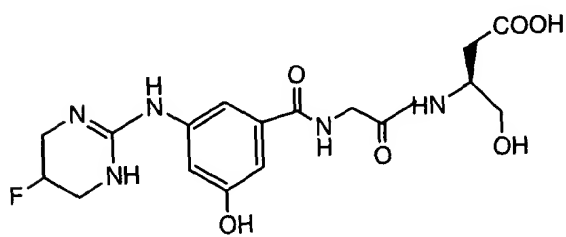
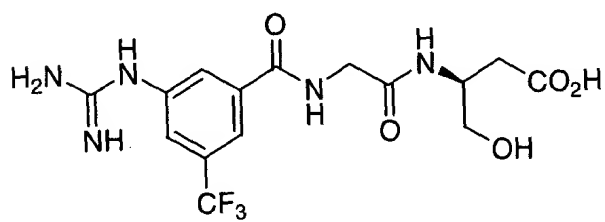
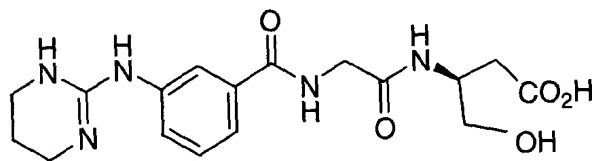
SO3391



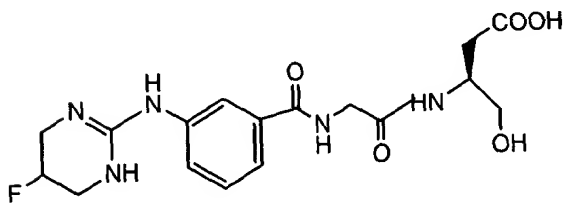
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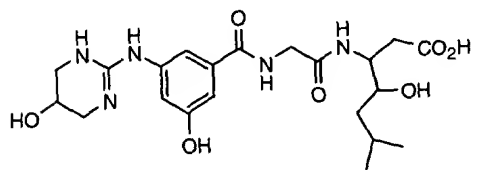
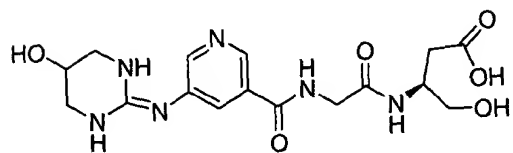
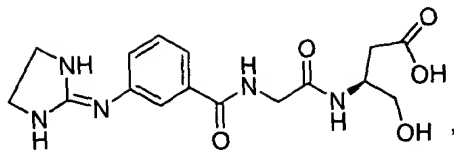
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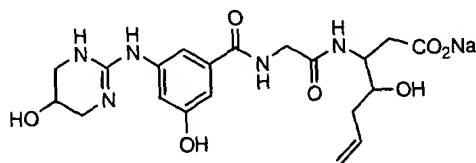
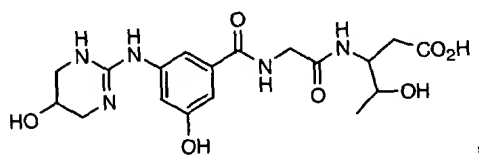
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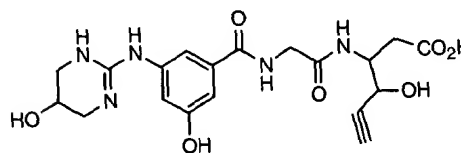
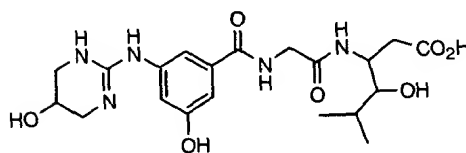
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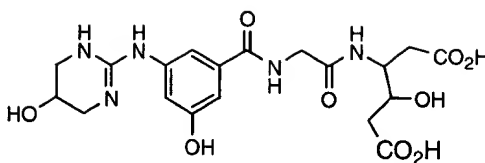


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CCCCC[C@H](O)[C@H](NC(=O)NCC(=O)c1ccc(O)c(NC2CCNC2O)c1)C(=O)O[Na]CCCCCCCC[C@H](O)[C@@H](NC(=O)NCC(=O)c1ccc(O)c(NC2=NC=CC=C2O)c1)CC(=O)[O-][Na+]O=C(NCCNC(Cc1ccccc1)C(O)C(=O)O)c1ccc(NC2=NC=CC2O)cc1OOc1cc(NC2=NC=CC=C2)ccc(C(=O)NCC(=O)N[C@@H](Cc3ccc(F)cc3)[C@H](O)CC(=O)O)c1O=C(NCCNC1CCNC1C2=CC=C(O)C=C2)C(=O)N[C@@H](C(O)C(=O)O)C3=CC=C(Cl)C=C3ClOc1ccc(Nc2ncnc3ccccc23)cc1C(=O)NCC(=O)N[C@@H](C(=O)O)[C@H](O)C(F)(F)F, and

5



and all isomers, enantiomers, tautomers, racemates and polymorphs thereof.

10

5. A pharmaceutical composition comprising a compound of Claim 1, 2, 3, or 4.

15

6. A method of inhibiting a condition mediated by the $\alpha_v\beta_3$ or $\alpha_v\beta_5$ integrin comprising administering a therapeutically effective amount of a compound of Claim 1, 2, 3, or 4.

20

7. The method according to Claim 6 wherein the condition treated is selected from the group consisting of tumor metastasis, solid tumor growth, angiogenesis, osteoporosis, humoral hypercalcemia of malignancy, smooth muscle cell migration, restenosis, atherosclerosis, macular degeneration, retinopathy, and arthritis.

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